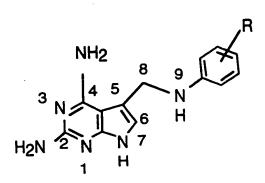
- (A) Pd-BaCO₃/DMF/MeOH; (B) chlorformamidine/Dowtherm-A; (C) guanidine HCl/NaOEt/Δ;
- (D) Raney Ni/80% AcOH/MeOH; (E) NaCNBH3/MeOH/AcOH; (F) HCOOH/Raney Ni;∆;
- (G)_1_N_NaOH/MeOH/rt.





1: R=3',4',5' -(OCH3)3

2: R=3',4' -(OCH3)2

3: R=4' -(OCH3)

4: R=2',5' -(OCH3)2

5: R=2',5' -(OC₂H₅)₂

6: R=3',4'-(Cl)₂

7: R=2',3' -(CH)4

8: R=H

FIG. 2

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APPROVED	O.G. FIG.		
BY -	CLASS	SUBCLASS	
DRAFTSMAN			

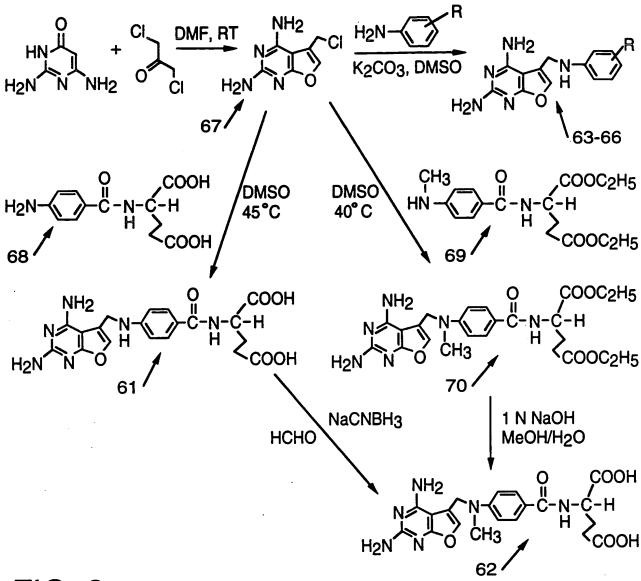


FIG. 3

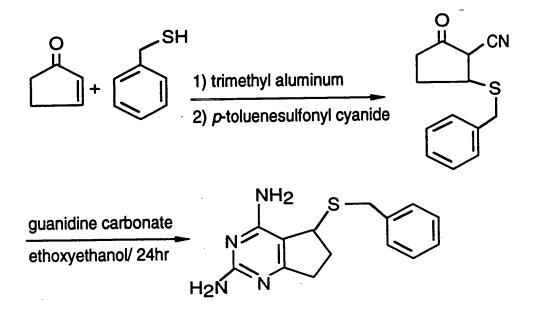


FIG. 4

TOSCUSSIAN ASSOC

t.BuoH/Na/reflux

5 hr

NC
NH2
Quanidine carbonate
ethoxyethanol/ reflux
24 hr

H₂N NH₂ H₂/Pd/c NH.HCl H₂N N CH₃ CH₃

DMSO/K₂CO₃/RT

A8 hr
Cl
H₂N

CH₃

R = H

R = 3,4,5 trimethoxy

R = 2,5 dimethoxy

R = 3,4 dichloro

R = 2,4 dichloro

R = 2,6 dichloro

R = C₄H₄

R = 4-CONHCHCH₂CH₂COOH

FIG. 5

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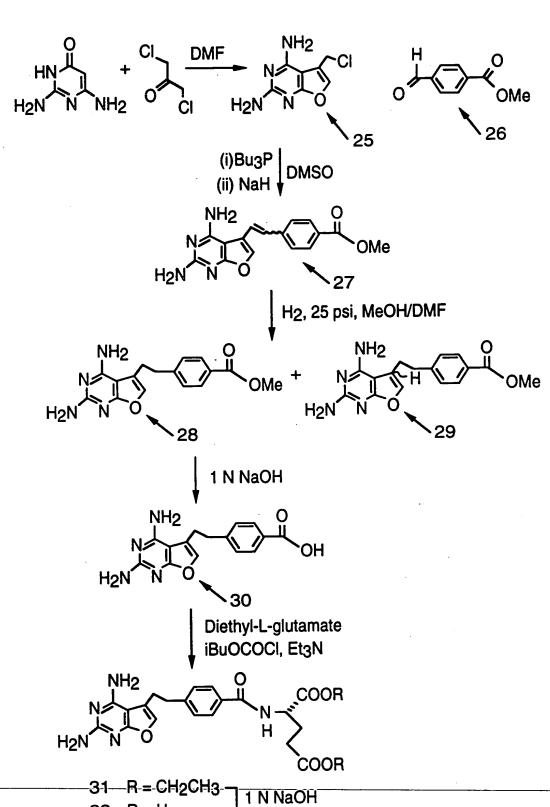
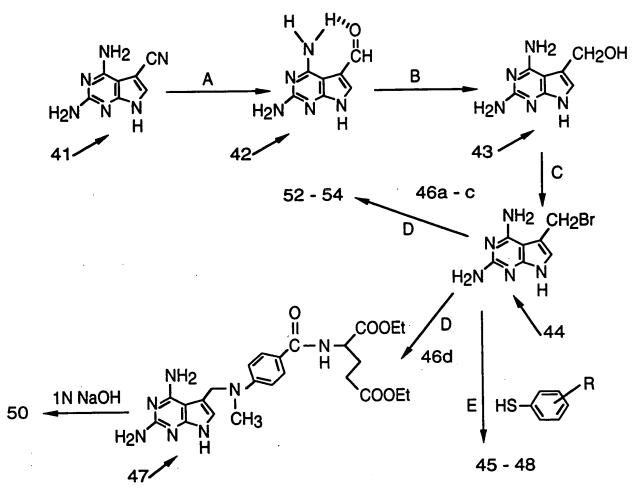


FIG. 6

33 R = H

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BY .	CLASS	SUBCLASS	
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(A): Raney Ni/HCOOH; (B): NaBH3; (C): 30% HBr-AcOH; (D): DMF; (E): NaH/DMF

FIG. 8



NH2 NH2 N N N N R1 F1

$$R_1 = H: R_2 =$$

51a: 2', 5' - (OCH3)2

51b: 3', 4' - (Cl)2

51c: 2', 3' - (CH)4

 $R_1 = CH_3: R_2 =$

52: 2', 5' - (OCH3)2

53: 3', 4' - (Cl)2

54:2', 3' - (CH)4

55: 3', 4' - (OCH₃)₂

56: 3', 4' - (Cl)2

57: 2', 3' - (CH)4

58: 3', 4' - (CH)4

O II COOH
$$C - N - COOH$$

$$NH_2 N N R$$

$$H_2N N H$$

R=

59 : H

60: CH₃

FIG. 9

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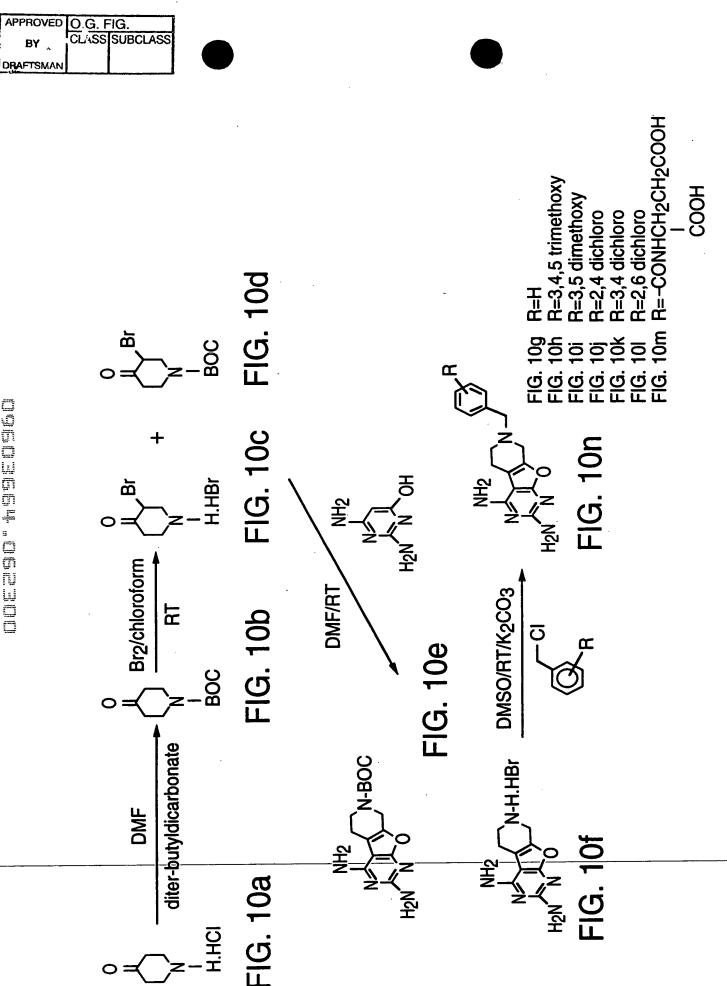


FIG. 11a

CH3CH2OCH2CH2OH

FIG. 11c

50-98%

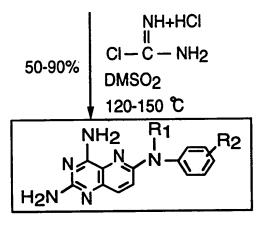


FIG. 11d

$$R_1 = H$$
, $R_2 = 3',4'$ -diOMe

$$R_1 = H, R_2 = H$$

$$R_1 = H$$
, $R_2 = 2',5'$ -diOMe

$$R_1 = H, R_2 = 4'-Cl$$

$$R_1 = H, R_2 = 2'-OMe$$

$$R_1 = H, R_2 = 4'-OMe$$

$$R_1 = H$$
, $R_2 = 3',4',5'-triOMe$

$$R_1 = CH_3$$
, $R_2 = 3',4',5'-triOMe$

$$R_1 = CH_3$$
, $R_2 = 2',5'-diOMe$

$$R_1 = CH_3$$
, $R_2 = 3',4'-diOMe$

$$R_1 = CH_3, R_2 = H$$

$$R_1 = H$$
, $R_2 = 3',4'-C_4H_4$

$$R_1 = CH_3$$
, $R_2 = 3',4'-C_4H_4$

FIG. 12a

Fe/HCI, MeOH reflux

FIG. 12c

FIG. 12d

FIG. 12f R=4'-OMe

FIG. 12g R=3',4'-OMe

FIG. 12h R=2'-OMe

FIG. 12e

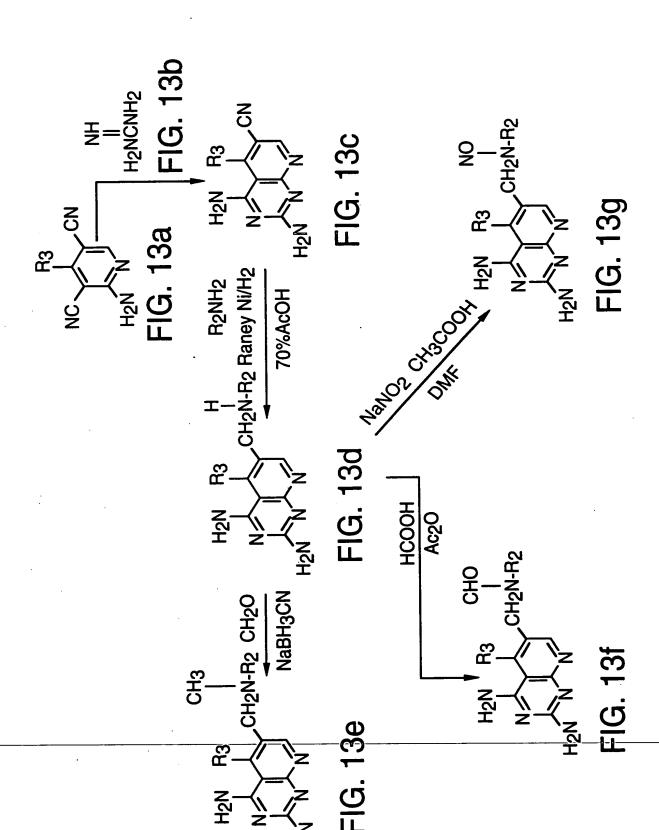
FIG. 12i R=4'-OMe

FIG. 12j R=3',4'-OMe

FIG. 12k R=2'-OMe

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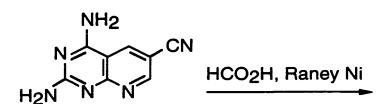


FIG. 14a

FIG. 14b

FIG. 14d

NaBH4,

MeOH

FIG. 14c

FIG. 14e R = Phenyl

FIG. 14f R = Napthylene

N02

APPROVED O.G. FIG.
BY CLASS SUBCLASS
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FIG. 17e

61% H₂O₂, CH₂Cl₂ r.t., 24 h FIG. 18b (CF3CO)2O, FIG. 18c CH3CONH Guanidine carbonate EtOCH2CH2OH ii.) saturated NaHCO3, r.t., 1.5 h 100% i.) Ac2O, DMAP, Et3N CH2Cl2, reflux, 20h 140°C, 1 h 100% FIG. 18d FIG. 18a Cl 3 Steps

HS (7) CH₃

64%

125°C, 12 h

FIG. 18e

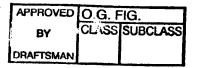
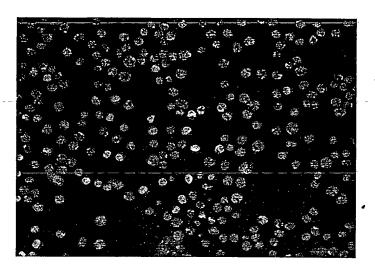




Fig. 1A



Fig. 1B



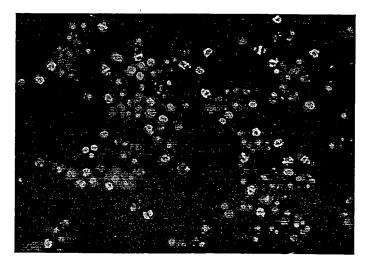
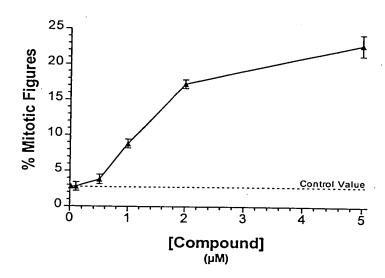
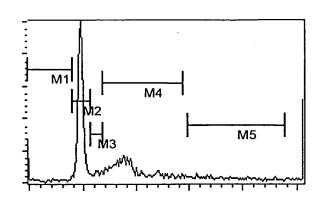


Fig. 2



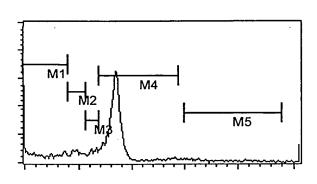
CONTROL OFFICE

Fig. 3A



M <u>arker</u>	%_
Al	100.0
M1 ·	2.6
M2	42.4
МЗ	3.8
M4	34.8
M5	9.8

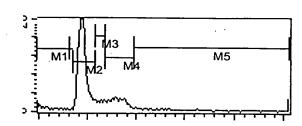
Fig. 3B



M <u>arker</u>	%
All	100.0
M1	25.1
M2	7.4
М3	5.3
M4	57.2
M5	3.8

DOZEGLED OLEMA

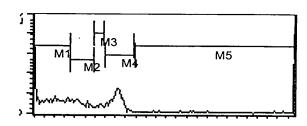
Fig. 4A



Marker	%
All	100.0
M1	3.3
M2	68.4
МЗ	6.1
M4	16.5
M5	5.5

Fig. 4B

DOZEGLEO OLZEOI



Marke	%
Al	100.0
M1	56.1
M2	13.7
МЗ	4.3
M4	18.5
M5	7.3

	O.G. FIG.		
BY	CLASS	SUJBCLASS	
DRAFTSMAN			

DOVED DIFFORM

Fig. 5

